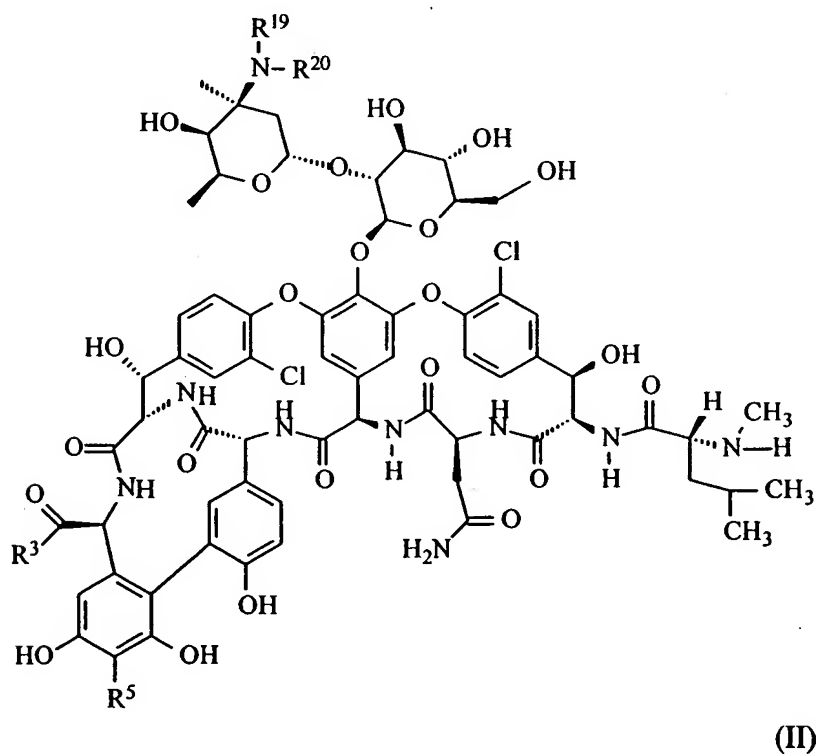


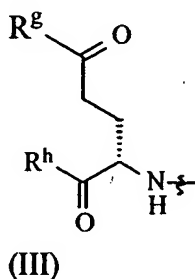
WHAT IS CLAIMED IS:

1. A glycopeptide substituted at the C-terminus and/or the R-terminus with a substituent that comprises one or more saccharide groups and a carboxy group; or a pharmaceutically acceptable salt, or stereoisomer, or prodrug thereof;
- 5 provided the glycopeptide is not a compound of formula II:



- a) wherein R^3 is N-(2-amino-2-deoxygluconic acid); R^5 is hydrogen; R^{19} is hydrogen; and R^{20} is $-NH-CH_2CH_2-NH-(CH_2)_9CH_3$; or
- b) wherein R^3 is OH; R^5 is $-CH_2-N-(2-amino-2-deoxygluconic acid)$; R^{19} is hydrogen; and R^{20} is $-CH_2CH_2-NH-(CH_2)_9CH_3$.

2. The glycopeptide of claim 1 which is substituted at the C-terminus and the R-terminus with a substituent that comprises a saccharide and a carboxy group.
3. The glycopeptide of claim 1 which is substituted at the C-terminus with a substituent that comprises a saccharide and a carboxy group.
- 5 4. The glycopeptide of claim 1 which is substituted at the R-terminus with a substituent that comprises a saccharide group and a carboxy group.
5. The glycopeptide of claim 3 wherein the substituent that comprises a saccharide and a carboxy group has the formula $-N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and
10 R^x is a saccharide.
6. The glycopeptide of claim 3 wherein the substituent is a substituent of formula III:

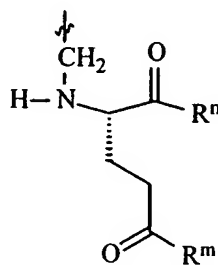


wherein one of R^g and R^h is a saccharide, and the other of R^g and R^h is OH.

7. The glycopeptide of claim 4 wherein the substituent that comprises a saccharide
15 and a carboxy group has the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or

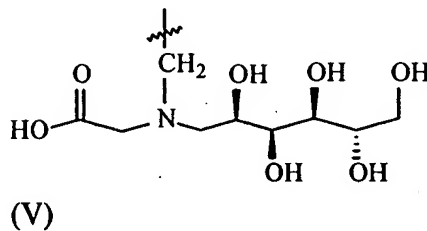
alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

8. The glycopeptide of claim 4 wherein the substituent is a substituent of formula IV:

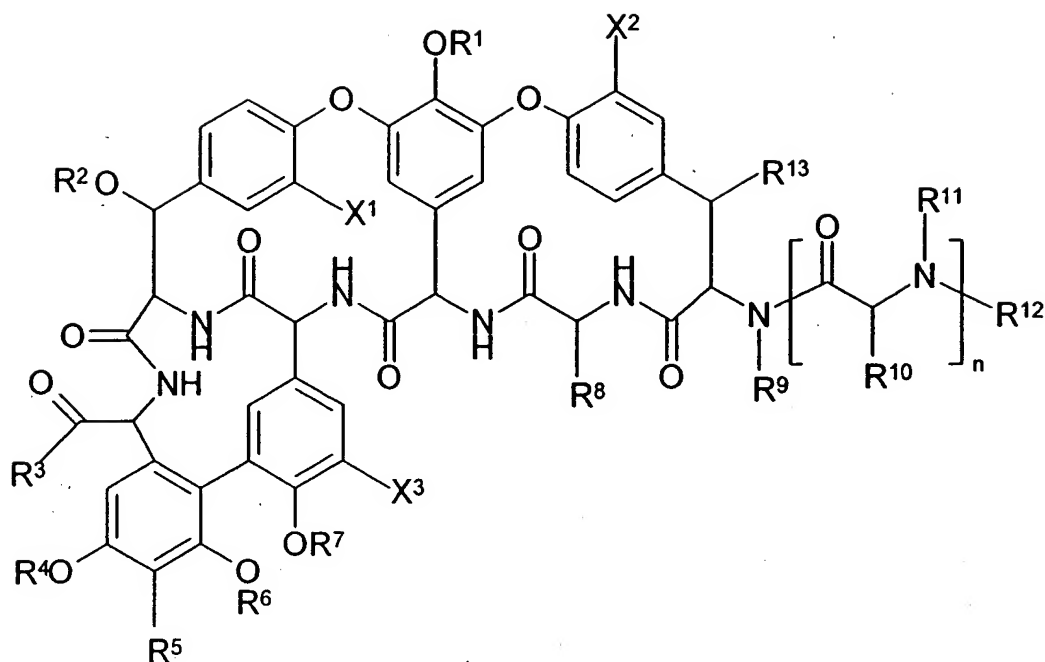


- 5 wherein one of R^m and R^n is a saccharide, and the other is OH.

9. The glycopeptide of claim 4 wherein the substituent is a substituent of formula V:



10. The glycopeptide of claim 1 which is a compound of formula I:



(I)

wherein:

R¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and
 5 -R^a-Y-R^b-(Z)_x; or R¹ is a saccharide group optionally substituted with
 -R^a-Y-R^b-(Z)_x, R^f, -C(O)R^f, or -C(O)-R^a-Y-R^b-(Z)_x;

R² is hydrogen or a saccharide group optionally substituted with
 -R^a-Y-R^b-(Z)_x, R^f, -C(O)R^f, or -C(O)-R^a-Y-R^b-(Z)_x;

R³ is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x, -NR^c-R^a-Y-R^b-(Z)_x, -NR^cR^c, or
 10 -O-R^c; or R³ is a substituent that comprises a saccharide group and a carboxy group;

R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-\text{CH}(R^c)-\text{NR}^cR^c$, $-\text{CH}(R^c)-\text{NR}^cR^c$, $-\text{CH}(R^c)-\text{NR}^c-R^a-Y-R^b-(Z)_x$, $-\text{CH}(R^c)-R^x$,
5 $-\text{CH}(R^c)-\text{NR}^c-R^a-C(=O)-R^x$, or R^5 is substituent that comprises a saccharide group and a carboxy group;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can
10 be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
15 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or
20 R^8 and R^{10} are joined to form $-\text{Ar}^1-\text{O}-\text{Ar}^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or
25

R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic,
5 -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x,
or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

10 R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond,
15 alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl,
20 heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

25 each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is N-linked amino saccharide or an N-linked heterocycle;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5 $-S-S-$, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$,
 $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$,
 $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$,
 $-OC(O)NR^c-$, $-C(=O)-$, and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,
heteroaryl and heterocyclic;

- 10 n is 0, 1 or 2; and

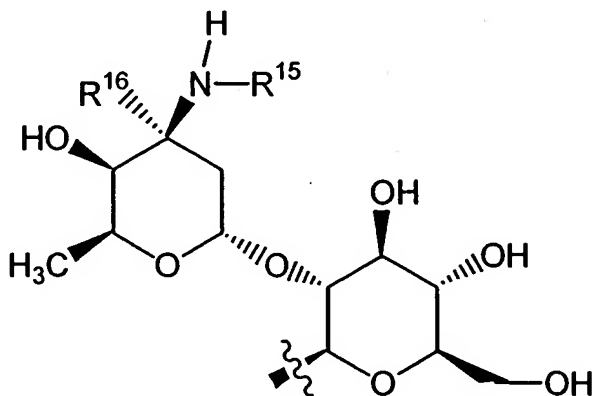
x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided at least one of R^3 and R^5 is a substituent that comprises a saccharide
group and a carboxy group.

- 15 11. The glycopeptide of claim 10 wherein R^1 is a saccharide group optionally
substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)$.

12. The glycopeptide of claim 10 wherein R^1 is a saccharide group of the formula:

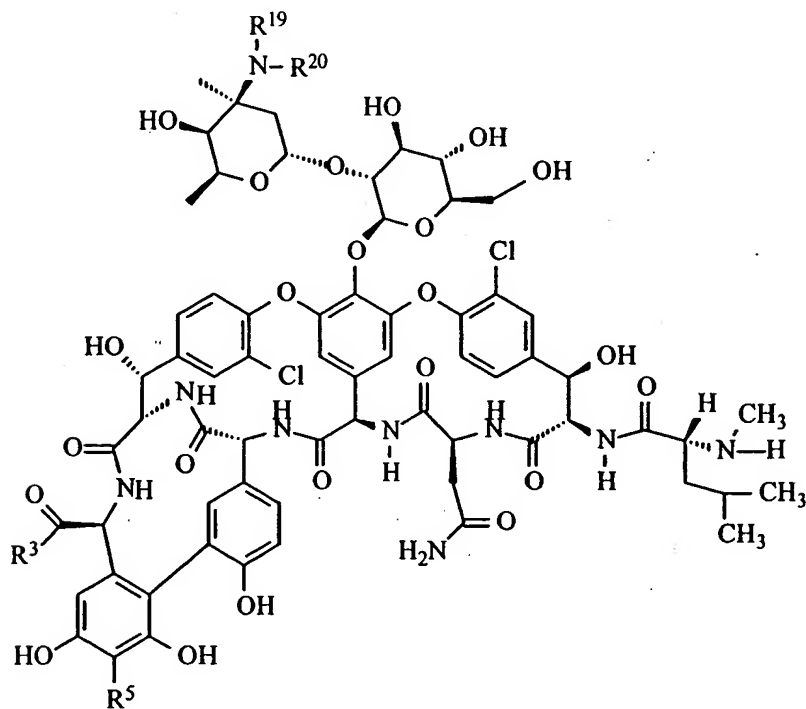


wherein R^{15} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

13. The glycopeptide of claim 10 wherein R^3 has the formula $-N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

14. The glycopeptide of claim 10 wherein R^5 is a substituent that comprises a saccharide group and a carboxy group having the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.

15. The glycopeptide of claim 10 which is a compound of formula II:



(II)

wherein:

R^{19} is hydrogen;

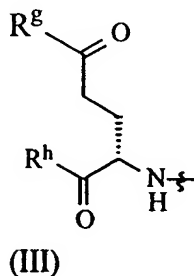
R^{20} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and

R^a , Y , R^b , Z , x , R^f , R^3 , and R^5 have the values defined in claim 10;

- 5 or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;
provided at least one of R^3 and R^5 is a substituent that comprises a saccharide group and a carboxy group.

16. The glycopeptide of claim 15 wherein R^3 has the formula $-N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with a carboxy group; and R^x is a saccharide.
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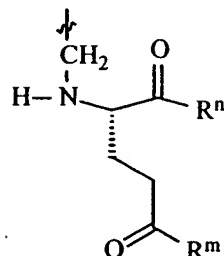
17. The glycopeptide of claim 16 wherein R^3 is of the formula III:



wherein one of R^g and R^h is a saccharide, and the other of R^g and R^h is OH.

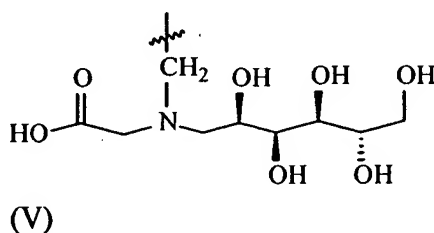
18. The glycopeptide of claim 15 wherein R^5 is a substituent that comprises a saccharide group and a carboxy group having the formula $-CH_2N(R^w)-R^y-R^x$; wherein R^w is hydrogen or alkyl; R^y is substituted alkylene, which is substituted with at least one carboxy group; and R^x is a saccharide, and R^5 is -OH.
- 15

19. The glycopeptide of claim 18 wherein R⁵ is of the formula IV:



wherein one of R^m and Rⁿ is a saccharide, and the other is OH.

20. The glycopeptide of claim 15 wherein R⁵ is of the formula V:



21. A pharmaceutical composition comprising a pharmaceutically acceptable carrier
5 and a therapeutically effective amount of a compound of claim 1.
22. The pharmaceutical composition of Claim 21, which comprises a cyclodextrin.
23. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.
- 10 24. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of

a glycopeptide of claim 10.

25. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 15.

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26. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 21.